10/ 088,854

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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 09	CA/CAplus records now contain indexing from 1907 to the present
NEWS	4	DEC 08	INPADOC: Legal Status data reloaded
NEWS	5	SEP 29	DISSABS now available on STN
NEWS	6	OCT 10	PCTFULL: Two new display fields added
NEWS	7	OCT 21	BIOSIS file reloaded and enhanced
NEWS	8	OCT 28	BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS	9	NOV 24	MSDS-CCOHS file reloaded
NEWS	10	DEC 08	CABA reloaded with left truncation
NEWS	11	DEC 08	IMS file names changed
NEWS	12	DEC 09	Experimental property data collected by CAS now available in REGISTRY
NEWS	13	DEC 09	STN Entry Date available for display in REGISTRY and CA/CAplus
NEWS	14	DEC 17	DGENE: Two new display fields added
NEWS	15	DEC 18	BIOTECHNO no longer updated
NEWS	16	DEC 19	CROPU no longer updated; subscriber discount no longer available
NEWS	17	DEC 22	Additional INPI reactions and pre-1907 documents added to CAS databases
NEWS	18	DEC 22	IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
NEWS	19	DEC 22	ABI-INFORM now available on STN
NEWS	20	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS	21	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAplus
NEWS	22	FEB 05	German (DE) application and patent publication number format changes
NEWS	23	MAR 03	MEDLINE and LMEDLINE reloaded
NEWS	24	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	25	MAR 03	FRANCEPAT now available on STN
NEWS	26	MAR 29	Pharmaceutical Substances (PS) now available on STN
NEWS	27	MAR 29	WPIFV now available on STN
NEWS	28	MAR 29	No connect hour charges in WPIFV until May 1, 2004
NEWS	29	MAR 29	New monthly current-awareness alert (SDI) frequency in RAPRA

NEWS EXPRESS	MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 3 MARCH 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 15:18:17 ON 07 APR 2004

=> file medline caplus PS

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'MEDLINE' ENTERED AT 15:18:47 ON 07 APR 2004

FILE 'CAPLUS' ENTERED AT 15:18:47 ON 07 APR 2004
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FILE 'PS' ENTERED AT 15:18:47 ON 07 APR 2004

=> s quinazolin? and (aurora with kinase?)
L1 10 QUINAZOLIN? AND (AURORA WITH KINASE?)

=> d l1 1- ibib abs
YOU HAVE REQUESTED DATA FROM 10 ANSWERS - CONTINUE? Y/(N):y

L1 ANSWER 1 OF 10 MEDLINE on STN
ACCESSION NUMBER: 2003199692 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12719470
TITLE: Aurora B couples chromosome alignment with anaphase by targeting BubR1, Mad2, and Cenp-E to kinetochores.
AUTHOR: Ditchfield Claire; Johnson Victoria L; Tighe Anthony; Ellston Rebecca; Haworth Carolyn; Johnson Trevor; Mortlock Andrew; Keen Nicholas; Taylor Stephen S
CORPORATE SOURCE: School of Biological Sciences, University of Manchester, 2.205 Stopford Building, Oxford Rd., Manchester M13 9PT, UK.
SOURCE: Journal of cell biology, (2003 Apr 28) 161 (2) 267-80. Journal code: 0375356. ISSN: 0021-9525.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200306
ENTRY DATE: Entered STN: 20030430
Last Updated on STN: 20030620
Entered Medline: 20030619

AB The Aurora/Ipl1 family of protein kinases plays multiple roles in mitosis and cytokinesis. Here, we describe ZM447439, a novel selective **Aurora kinase** inhibitor. Cells treated with ZM447439 progress through interphase, enter mitosis normally, and assemble bipolar spindles. However, chromosome alignment, segregation, and cytokinesis all fail. Despite the presence of maloriented chromosomes, ZM447439-treated cells exit mitosis with normal kinetics, indicating that the spindle checkpoint is compromised. Indeed, ZM447439 prevents mitotic arrest after exposure to paclitaxel. RNA interference experiments suggest that these

phenotypes are due to inhibition of Aurora B, not Aurora A or some other kinase. In the absence of Aurora B function, kinetochore localization of the spindle checkpoint components BubR1, Mad2, and Cenp-E is diminished. Furthermore, inhibition of **Aurora** B **kinase** activity prevents the rebinding of BubR1 to metaphase kinetochores after a reduction in centromeric tension. **Aurora** B **kinase** activity is also required for phosphorylation of BubR1 on entry into mitosis. Finally, we show that BubR1 is not only required for spindle checkpoint function, but is also required for chromosome alignment. Together, these results suggest that by targeting checkpoint proteins to kinetochores, Aurora B couples chromosome alignment with anaphase onset.

L1 ANSWER 2 OF 10 MEDLINE on STN
ACCESSION NUMBER: 2003142753 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12657723
TITLE: Targeting aurora2 kinase in oncogenesis: a structural bioinformatics approach to target validation and rational drug design.
AUTHOR: Vankayalapati Hariprasad; Bearss David J; Saldanha Jose W; Munoz Ruben M; Rojanala Sangeeta; Von Hoff Daniel D; Mahadevan Daruka
CORPORATE SOURCE: Arizona Cancer Center, University of Arizona, Tucson, Arizona 85724, USA.
CONTRACT NUMBER: CA88310 (NCI)
CA95031 (NCI)
SOURCE: Molecular cancer therapeutics, (2003 Mar) 2 (3) 283-94. Journal code: 101132535. ISSN: 1535-7163.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200312
ENTRY DATE: Entered STN: 20030327
Last Updated on STN: 20031217
Entered Medline: 20031211

AB The **aurora kinases** are a novel oncogenic family of mitotic serine/threonine kinases (S/T kinases) that are overexpressed in a number of solid tumors, including pancreas and colorectal cancer. A PSI-BLAST search [National Center for Biotechnology Information (NCBI)] with the sequence of the S/T kinase domain of human aurora1 kinase [also known as AUR1, ARK2, AIk2, AIM-1, and STK12] and human aurora2 kinase (also known as AUR2, ARK1, AIK, BTAK, and STK15) showed a high sequence similarity to the three-dimensional structures of bovine cAMP-dependent kinase [Brookhaven Protein Data Bank code 1CDK], murine cAMP-dependent kinase (1APM), and Caenorhabditis elegans twitchin kinase (1KOA). When the aurora1 or aurora2 sequence was input into the tertiary structure prediction programs THREADER and 3D-PSSM (three-dimensional position-sensitive scoring matrix), the top structural matches were 1CDK, 1APM, and 1KOA, confirming that these domains are structurally conserved. The structural models of aurora1 and aurora2 were built using 1CDK as the template structure. Molecular dynamics and docking simulations, targeting the ATP binding site of aurora2 with adenylyl imidodiphosphate (AMP-PNP), staurosporine, and six small molecular S/T kinase inhibitors, identified active-site residues that interact with these inhibitors differentially. The docked structures of the aurora2-AMP-PNP and aurora2-staurosporine complexes indicated that the adenine ring of AMP-PNP and the indolocarbazole moiety of staurosporine have similar positions and orientations and provided the basis for the docking of the other S/T kinase inhibitors. Inhibitors with isoquinoline and **quinazoline** moieties were recognized by aurora2 in which H-89 and 6,7-dimethoxyquinazoline compounds exhibited high binding energies compared with that of staurosporine. The calculated binding energies for the docked small-molecule inhibitors were qualitatively consistent with the

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IC(50) values generated using an in vitro kinase assay. The aurora2 structural model provides a rational basis for site-directed mutagenesis of the active site; design of novel H-89, staurosporine, and **quinazoline** analogues; and the screening of the available chemical database for the identification of other novel, small-molecular entities.

L1 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:532525 CAPLUS
DOCUMENT NUMBER: 139:101142
TITLE: Preparation of substituted **quinazoline** derivatives as inhibitors of **aurora kinases**
INVENTOR(S): Jung, Frederic Henri; Pasquet, Georges Rene
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 175 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003055491	A1	20030710	WO 2002-GB5845	20021220

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2001-403357 A 20011224
OTHER SOURCE(S): MARPAT 139:101142
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = O, SO0-2, amino, etc.; R1-4 = H, halo, CN, NO2, CF3, etc.; R5 = pyrazolyl] are prepared For instance, 4-chloro-6-methoxy-7-(3-(morpholinyl)propoxy)**quinazoline** is heated in the presence of Me (5-amino-1H-pyrazol-3-yl)acetate (pentan-2-ol, HCl, 120°, 2 h) to give Me [5-[(6-methoxy-7-(3-(morpholinyl)propoxy)**quinazolin**-4-yl)amino]-1H-pyrazol-3-yl]acetate. This intermediate is saponified and condensed with aniline to give II. I are inhibitors of **aurora kinase** [no data].
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:238990 CAPLUS
DOCUMENT NUMBER: 139:143501
TITLE: Targeting Aurora2 Kinase in Oncogenesis: A Structural Bioinformatics Approach to Target Validation and Rational Drug Design
AUTHOR(S): Vankayalapati, Hariprasad; Bearss, David J.; Saldanha, Jose W.; Munoz, Ruben M.; Rojanala, Sangeeta; Von

Hoff, Daniel D.; Mahadevan, Daruka
CORPORATE SOURCE: Arizona Cancer Center, University of Arizona, Tucson, AZ, 85724, USA
SOURCE: Molecular Cancer Therapeutics (2003), 2(3), 283-294
CODEN: MCTOCF; ISSN: 1535-7163
PUBLISHER: American Association for Cancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The **aurora kinases** are a novel oncogenic family of mitotic serine/threonine kinases (S/T kinases) that are overexpressed in a number of solid tumors, including pancreas and colorectal cancer. A PSI-BLAST search [National Center for Biotechnol. Information (NCBI)] with the sequence of the S/T kinase domain of human aurora1 kinase [also known as AUR1, ARK2, AIk2, AIM-1, and STK12] and human aurora2 kinase (also known as AUR2, ARK1, AIK, BTAK, and STK15) showed a high sequence similarity to the three-dimensional structures of bovine cAMP-dependent kinase [Brookhaven Protein Data Bank code 1CDK], murine cAMP-dependent kinase (1APM), and Caenorhabditis elegans twitchin kinase (1KOA). When the aurora1 or aurora2 sequence was input into the tertiary structure prediction programs THREADER and 3D-PSSM (three-dimensional position-sensitive scoring matrix), the top structural matches were 1CDK, 1APM, and 1KOA, confirming that these domains are structurally conserved. The structural models of aurora1 and aurora2 were built using 1CDK as the template structure. Mol. dynamics and docking simulations, targeting the ATP binding site of aurora2 with adenylyl imidodiphosphate (AMP-PNP), staurosporine, and six small mol. S/T kinase inhibitors, identified active-site residues that interact with these inhibitors differentially. The docked structures of the aurora2-AMP-PNP and aurora2-staurosporine complexes indicated that the adenine ring of AMP-PNP and the indolocarbazole moiety of staurosporine have similar positions and orientations and provided the basis for the docking of the other S/T kinase inhibitors. Inhibitors with isoquinoline and **quinazoline** moieties were recognized by aurora2 in which H-89 and 6,7-dimethoxyquinazoline compds. exhibited high binding energies compared with that of staurosporine. The calculated binding energies for the docked small-mol. inhibitors were qual. consistent with the IC50 values generated using an in vitro kinase assay. The aurora2 structural model provides a rational basis for site-directed mutagenesis of the active site; design of novel H-89, staurosporine, and **quinazoline** analogs; and the screening of the available chemical database for the identification of other novel, small-mol. entities.
REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:220580 CAPLUS
DOCUMENT NUMBER: 136:247606
TITLE: Preparation of 3-(4-pyrimidinylamino)pyrazole derivatives as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treating cancer, diabetes and Alzheimer's disease.
INVENTOR(S): Davies, Robert; Bebbington, David; Binch, Haley; Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 357 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

10/ 088,854

WO 2002022604	A1	20020321	WO 2001-US28792	20010914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001094558	A5	20020326	AU 2001-94558	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 6660731	B2	20031209		
US 2003078166	A1	20030424	US 2001-955601	20010914
US 6696452	B2	20040224		
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
EP 1317450	A1	20030611	EP 2001-975210	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1345922	A1	20030924	EP 2001-271061	20011219
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EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003001190	A	20030513	NO 2003-1190	20030314
NO 2003002704	A	20030821	NO 2003-2704	20030613

PRIORITY APPLN. INFO.:	US 2000-232795P	P	20000915
	US 2000-257887P	P	20001221
	US 2001-286949P	P	20010427
	WO 2001-US28792	W	20010914
	WO 2001-US49139	W	20011219
	WO 2001-US50312	W	20011219

OTHER SOURCE(S): MARPAT 136:247606

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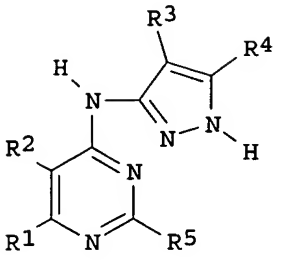

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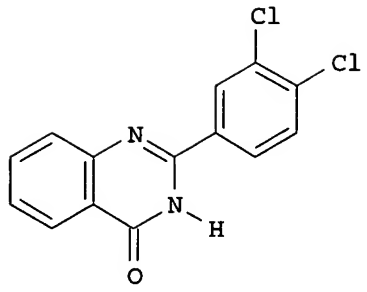

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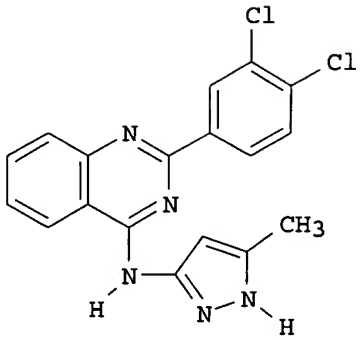

III

AB The preparation of title compds. I and their pharmaceutically acceptable salts or prodrugs is described [wherein: R1, R2 = dependently form (un)substituted fused, unsatd. or partially unsatd., 5-8 membered carbocyclo ring; R3, R4 = independently H, aliphatic, aryl, heteroaryl, heterocyclyl, or wide variety of functionalized sidechains; or dependently form a fused, 5-8 membered, unsatd. or partially unsatd. ring having 0-3 ring heteroatoms (N, S, O); R5 = fused, (un)substituted 5-7 membered monocyclic ring or 8-10 membered bicyclic ring (aryl, heteroaryl, heterocyclyl or carbocyclyl, said heteroaryl or heterocyclyl ring having 1-4 ring heteroatoms (N, S, O))]. For example, chlorination of quinazolone II with phosphorus oxychloride, followed by condensation with 3-amino-5-methylpyrazole afforded claimed compound III. Compds. I are inhibitors of GSK-3 and Aurora-2 protein kinases. The invention also relates to methods of treating diseases associated with these protein kinases, such as diabetes, cancer and Alzheimer's disease. In bioassays, compds. I inhibited the following kinases with Kis reported < 100 nM: GSK-3β (163 compds.), AURORA-2 (65 compds.), CDK-2 (no data), ERK2 (8 compds.), AKT (no data), and Human Src kinase (21 compds.). Claims included 146 specific compds., and 188 examples were given. The syntheses of 6 compds. and 46 intermediates are described.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:10468 CAPLUS
DOCUMENT NUMBER: 136:85826
TITLE: Preparation of substituted **quinazoline** derivatives and their use as inhibitors of **AURORA**-2 **kinase**
INVENTOR(S): Mortlock, Andrew; Jung, Frederic
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 249 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

10/ 088,854

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000649	A1	20020103	WO 2001-SE1450	20010621
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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EP 1299381	A1	20030409	EP 2001-944061	20010621
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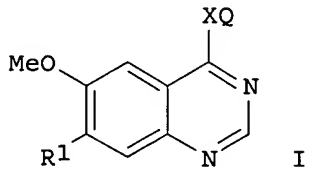
BR 2001011754	A	20030429	BR 2001-11754	20010621
JP 2004501914	T2	20040122	JP 2002-505773	20010621
BG 107376	A	20030930	BG 2002-107376	20021211
NO 2002006010	A	20021213	NO 2002-6010	20021213
US 2003187002	A1	20031002	US 2002-311916	20021216

PRIORITY APPLN. INFO.: EP 2000-401842 A 20000628
WO 2001-SE1450 W 20010621

OTHER SOURCE(S): MARPAT 136:85826

GI



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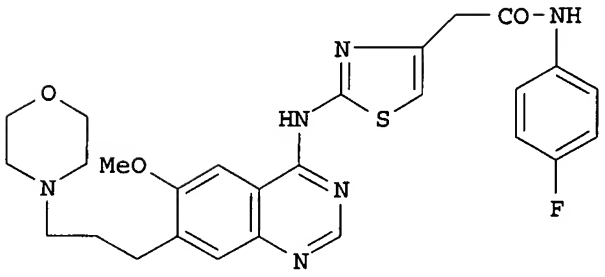

II

AB The title compds. [I; X = O, S, S:O, SO2, NR; R = H, C1-6alkyl; R1 = OCH3, 3-(4-morpholinyl)propoxy, N-methylpiperidine-4-ylmethoxy, 3-(N-methylpiperazine-4-yl)propoxy, 3-(pyrrolidine-1-yl)propoxy, (CH3)2N(CH2)3O, etc.; Q = (un)substituted 5-membered heteroarom.], pharmaceutically acceptable salts, in vivo hydrolysable esters, and amides are prepared as **AURORA**-2 **kinase** inhibitors in warm blooded animals. The title compds. together with pharmaceutical compns. containing them are also described and claimed. Thus, the title compound II was prepared and tested in vitro for the ability to arrest MCF7 cells in specific phases of the cell cycle.

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REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:228867 CAPLUS
DOCUMENT NUMBER: 134:266318
TITLE: Preparation of **quinazolines** as **aurora** 2 **kinase** inhibitors
INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021597	A1	20010329	WO 2000-GB3593	20000919
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014137	A	20020521	BR 2000-14137	20000919
EP 1218355	A1	20020703	EP 2000-960850	20000919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509500	T2	20030311	JP 2001-524976	20000919
EE 200200118	A	20030415	EE 2002-118	20000919
AU 762697	B2	20030703	AU 2000-73019	20000919
BG 106526	A	20021031	BG 2002-106526	20020318
ZA 2002002232	A	20030619	ZA 2002-2232	20020319
NO 2002001400	A	20020506	NO 2002-1400	20020320

PRIORITY APPLN. INFO.: GB 1999-22171 A 19990921
WO 2000-GB3593 W 20000919

OTHER SOURCE(S): MARPAT 134:266318
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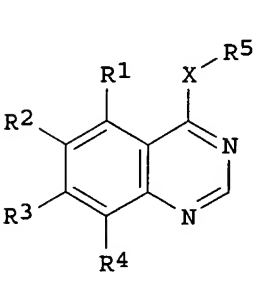

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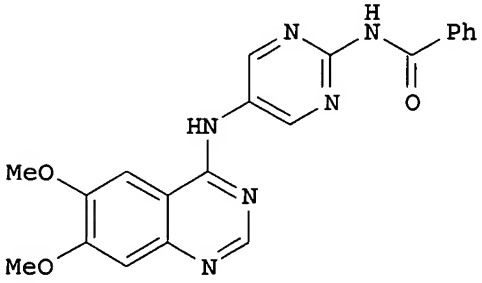

II

AB Title compds. (I) [wherein X = O, S, SO, SO2, NH, or NR6; R6 = H or alkyl; R5 = (un)substituted 6-membered aromatic ring containing at least one N; R1-R4 = independently halo, CN, NO2, alkylsulfanyl, N(OH)R7, or R9X1; R7 = H or alkyl; X1 = a direct bond, O, CH2, OC(O), CO, S, SO, SO2, or (un)substituted NHCO, CONH, SO2NH, NHSO2, or NH; R9 = H or (un)substituted

hydrocarbyl, heterocyclyl, or alkoxy; and at least one of R2 or R3 is other than H; or a salt, ester, amide, or prodrug thereof] were prepared as **aurora** 2 **kinase** inhibitors for the treatment of proliferative diseases, such as cancer. For example, 2-(N-benzoylamino)-5-aminopyrimidine and 4-chloro-6,7-dimethoxyquinazoline were coupled in i-PrOH to yield II (58%). The latter inhibited the serine/threonine kinase activity of **aurora** 2 **kinase** by 50% at a concentration of 0.00785 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 1.7 μM and reduced BrdU incorporation into cellular DNA by 50% at 1.92-2.848 μM.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:228866 CAPLUS
DOCUMENT NUMBER: 134:266317
TITLE: Preparation of **quinazolines** as **aurora** 2 **kinase** inhibitors
INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John; Jung, Frederic Henri; Brewster, Andrew George
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 306 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021596	A1	20010329	WO 2000-GB3580	20000918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014116	A	20020521	BR 2000-14116	20000918
EP 1218354	A1	20020703	EP 2000-960840	20000918
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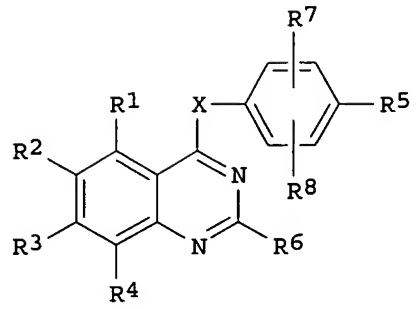
JP 2003509499	T2	20030311	JP 2001-524975	20000918
EE 200200119	A	20030415	EE 2002-119	20000918
BG 106492	A	20030131	BG 2002-106492	20020307
ZA 2002002234	A	20030619	ZA 2002-2234	20020319
NO 2002001399	A	20020430	NO 2002-1399	20020320

PRIORITY APPLN. INFO.: GB 1999-22154 A 19990921
GB 1999-22170 A 19990921
WO 2000-GB3580 W 20000918
OTHER SOURCE(S): MARPAT 134:266317
GI



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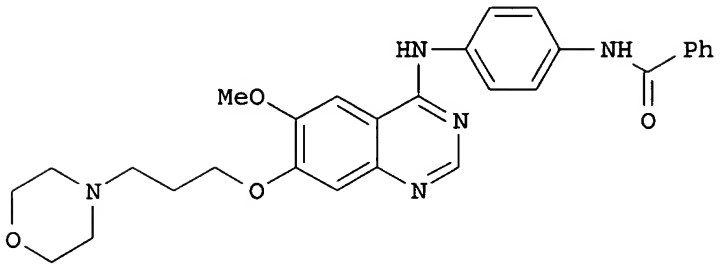

II

AB Title compds. (I) [wherein X = O, S, SO, SO2, NH, or NR12; R12 = H or alkyl; R1-R4 = independently halo, CN, NO2, alkylsulfanyl, N(OH)R13, or R15X1; R13 = H or alkyl; X1 = a direct bond, O, CH2, OC(O), CO, CO2, S, SO, SO2, or (un)substituted NHCO, CONH, SO2NH, NHSO2, or NH; R15 = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; R5 = NHCO2R9, NHCOR9, NHSO2R9, COR9, CO2R9, SOR9, SO2OR9, CONR10R11, SONR10R11, or SO2NR10R11; R9-R11 = independently H or (un)substituted hydrocarbyl or heterocyclyl; or R10 and R11 together with the N to which they are attached = (un)substituted heterocyclyl; R6 = H or (un)substituted hydrocarbyl or heterocyclyl; R7 and R8 = independently H, halo, alkyl, (di)alkoxy(methyl), alkanoyl, CF3, CN, NHY2, alkenyl, alkynyl, or (un)substituted Ph, PhCH2, or heterocyclyl; or a salt, ester, or amide thereof] were prepared as **aurora** 2 **kinase** inhibitors for the treatment of proliferative diseases, such as cancer. For example, a 7-step sequence involving (1) alkylation of morpholine with 1-bromo-3-chloropropane (49%), (2) addition of Et vanillate to yield Et 3-methoxy-4-(3-morpholinopropoxy)benzoate (100%), (3) nitration (86%), (4) reduction to the amine using 10% Pd/C (100%), (5) cycloaddn. with formamide to form the **quinazoline**(68%), (6) chlorination to give 4-chloro-6-methoxy-7-(3-morpholinopropoxy)**quinazoline** (60%), and (7) amination with N-benzoyl-4-aminoaniline (58%) yielded II. The latter inhibited the serine/threonine kinase activity of **aurora** 2 **kinase** by 50% at a concentration of 0.0193 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 1.06 μM and reduced BrdU incorporation into cellular DNA by 50% at 0.159-0.209 μM.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:228865 CAPLUS
DOCUMENT NUMBER: 134:266316
TITLE: Preparation of **quinazoline** derivatives, method of preparation and use in inhibiting **aurora** 2 **kinase**
INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

10/ 088,854

SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021595	A1	20010329	WO 2000-GB3562	20000918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014136	A	20020521	BR 2000-14136	20000918
EP 1218357	A1	20020703	EP 2000-962682	20000918
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JP 2003509498	T2	20030311	JP 2001-524974	20000918
EE 200200148	A	20030415	EE 2002-148	20000918
ZA 2002001831	A	20030605	ZA 2002-1831	20020305
NO 2002001395	A	20020515	NO 2002-1395	20020320
BG 106535	A	20021229	BG 2002-106535	20020320

PRIORITY APPLN. INFO.: GB 1999-22173 A 19990921
WO 2000-GB3562 W 20000918

OTHER SOURCE(S): MARPAT 134:266316
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB I or a salt, ester, amide or prodrug thereof, a method for the preparation of I and the use of the claimed compds. for inhibiting **aurora** 2 **kinase** are claimed. These compds. are useful in the treatment of cancer. In I: X is O, or S, S(O) or S(O)2 or NR10 where R10 is H or C1-6 alkyl. R5 is OR11, NR12R13 or SR11 where R11, R12 and R13 are independently optionally substituted hydrocarbyl or optionally substituted heterocyclic groups, and R12 and R13 may addnl. form together with the N atom to which they are attached, an optionally substituted aromatic or nonarom. heterocyclic ring which may contain further heteroatoms. R6 and R7 are independently H or hydrocarbyl. R8 and R9 are independently H, halo, C1-4 alkyl, C1-4 alkoxy, C1-4 alkoxymethyl, di(C1-4alkoxy)methyl, C1-4 alkanoyl, trifluoromethyl, cyano, amino, C2-5 alkenyl, C2-5 alkynyl, a Ph group, a benzyl group or a 5-6-membered heterocyclic group with 1-3 heteroatoms, selected independently from O, S and N, which heterocyclic group may be aromatic or nonarom. and may be saturated (linked via a ring C or N atom) or unsatd. (linked via a ring C atom), and which Ph, benzyl or heterocyclic group may bear on one or more ring C atoms up to 5 substituents selected from hydroxy, halo, C1-3 alkyl, C1-3 alkoxy, C1-3 alkanoyloxy, trifluoromethyl, cyano, amino, nitro, C2-4 alkanoyl, C1-4 alkanoylamino, C1-4 alkoxycarbonyl, C1-4 alkylthio, C1-4 alkylsulfinyl, C1-4 alkylsulfonyl, carbamoyl, N-C1-4alkylcarbamoyl, N,N-di(C1-4alkyl)carbamoyl, aminosulfonyl, N-C1-4alkylaminosulfonyl, N,N-di(C1-4alkyl)aminosulfonyl, C1-4 alkylsulfonylamino, and a saturated heterocyclic group selected from morpholino, thiomorpholino, pyrrolidinyl, piperazinyl, piperidinyl imidazolidinyl and pyrazolidinyl, which saturated

heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halo, C1-3 alkyl, C1-3 alkoxy, C1-3 alkanoyloxy, trifluoromethyl, cyano, amino, nitro and C1-4alkoxycarbonyl. R1, R2, R3, R4 are independently halo, cyano, nitro, C1-3 alkylthio, -N(OH)R14 (R14 is H, or C1-3 alkyl), or R16X1- (X1 represents a direct bond, -O-, -CH2-, -OC(O)-, -C(O)-, -S-, -SO-, -SO2-, -NR17C(O)-, -C(O)NR18-, -SO2NR19-, -NR20SO2- or -NR21- (R17, R18, R19, R20 and R21 each independently represents H, C1-3 alkyl or C1-3alkoxyC2-3alkyl), and R16 is H, optionally substituted hydrocarbyl, optionally substituted heterocyclyl or optionally substituted alkoxy). A method for preparing I comprises reacting II where X, R8 and R9 are as defined above, R1', R2', R3', R4' are groups R1, R2, R3, R4 as defined above resp., or precursors thereof; and R85 is a leaving group, with HCR6:CR7C(O)R5', where R6 and R7 are as defined above, R5' is a group R5 as defined above or a precursor group therefore; and thereafter if desired or necessary, converting any precursor groups R1', R2', R3', R4' or R5' to groups R1, R2, R3, R4 or R5 resp., or changing a group R5 to a different such group. The compds. of the invention inhibit the serine/threonine kinase activity of the **aurora** 2 **kinase** and thus inhibit the cell cycle and cell proliferation. Procedures for assessing these properties are described and test results are given for (E)-4-[4-(2-(3-methylcyclohexylaminocarbonyl)ethenyl)anilino]-6,7-dimethoxyquinazoline.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:228864 CAPLUS
DOCUMENT NUMBER: 134:252355
TITLE: Preparation of **quinazolines** as **aurora** 2 **kinase** inhibitors
INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021594	A1	20010329	WO 2000-GB3556	20000918
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014133	A	20020611	BR 2000-14133	20000918
EP 1218356	A1	20020703	EP 2000-962677	20000918
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JP 2003509497	T2	20030311	JP 2001-524973	20000918
EE 200200149	A	20030415	EE 2002-149	20000918
AU 763242	B2	20030717	AU 2000-74325	20000918
ZA 2002001833	A	20030605	ZA 2002-1833	20020305
BG 106491	A	20021229	BG 2002-106491	20020307
NO 2002001401	A	20020521	NO 2002-1401	20020320

PRIORITY APPLN. INFO.: GB 1999-22152 A 19990921
GB 1999-22156 A 19990921

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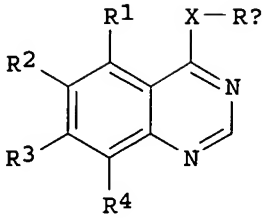
GB 1999-22159 A 19990921
WO 2000-GB3556 W 20000918

OTHER SOURCE(S): MARPAT 134:252355
GI



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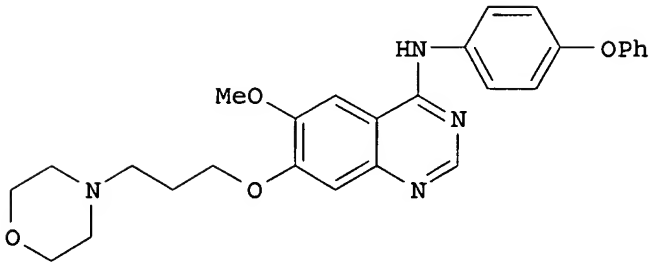

II

AB Title compds. (I) [wherein X = O, S, SO, SO2, NH, or NR8; R8 = H or alkyl; Ra = (un)substituted 3-quinolinyl or Ph; R1-R4 = independently halo, CN, NO2, alkylsulfanyl, N(OH)R12, or R14X1; R12 = H or alkyl; X1 = a direct bond, O, CH2, OC(O), CO, S, SO, SO2, or (un)substituted NHCO, CONH, SO2NH, NHSO2, or NH; R14 = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; or a salt, ester, or amide thereof] were prepared as **aurora** 2 **kinase** inhibitors for the treatment of proliferative diseases, such as cancer. For example, 4-phenoxyaniline•HCl and 4-chloro-6-methoxy-7-(3-morpholinopropoxy)**quinazoline** were refluxed in i-PrOH to yield II (86%). The latter inhibited the serine/threonine kinase activity of **aurora** 2 **kinase** by 50% at a concentration of 0.069 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 2.89 μM and reduced BrdU incorporation into cellular DNA by 50% at 3.68 μM.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 15:18:17 ON 07 APR 2004)

FILE 'MEDLINE, CAPLUS, PS' ENTERED AT 15:18:47 ON 07 APR 2004
L1 10 S QUINAZOLIN? AND (AURORA WITH KINASE?)

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	27.76	27.97
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-5.54	-5.54

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STN INTERNATIONAL LOGOFF AT 15:20:11 ON 07 APR 2004

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NEWS 4	DEC 08	INPADOC: Legal Status data reloaded
NEWS 5	SEP 29	DISSABS now available on STN
NEWS 6	OCT 10	PCTFULL: Two new display fields added
NEWS 7	OCT 21	BIOSIS file reloaded and enhanced
NEWS 8	OCT 28	BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS 9	NOV 24	MSDS-CCOHS file reloaded
NEWS 10	DEC 08	CABA reloaded with left truncation
NEWS 11	DEC 08	IMS file names changed
NEWS 12	DEC 09	Experimental property data collected by CAS now available in REGISTRY
NEWS 13	DEC 09	STN Entry Date available for display in REGISTRY and CA/CAplus
NEWS 14	DEC 17	DGENE: Two new display fields added
NEWS 15	DEC 18	BIOTECHNO no longer updated
NEWS 16	DEC 19	CROPU no longer updated; subscriber discount no longer available
NEWS 17	DEC 22	Additional INPI reactions and pre-1907 documents added to CAS databases
NEWS 18	DEC 22	IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
NEWS 19	DEC 22	ABI-INFORM now available on STN
NEWS 20	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS 21	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAplus
NEWS 22	FEB 05	German (DE) application and patent publication number format changes
NEWS 23	MAR 03	MEDLINE and LMEDLINE reloaded
NEWS 24	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS 25	MAR 03	FRANCEPAT now available on STN
NEWS 26	MAR 29	Pharmaceutical Substances (PS) now available on STN
NEWS 27	MAR 29	WPIFV now available on STN
NEWS 28	MAR 29	No connect hour charges in WPIFV until May 1, 2004
NEWS 29	MAR 29	New monthly current-awareness alert (SDI) frequency in RAPRA

NEWS EXPRESS	MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 3 MARCH 2004
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NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

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specific topic.

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FILE 'HOME' ENTERED AT 13:47:47 ON 07 APR 2004

=> file medline caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.42	0.42

FILE 'MEDLINE' ENTERED AT 13:49:01 ON 07 APR 2004

FILE 'CAPLUS' ENTERED AT 13:49:01 ON 07 APR 2004
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=> s mortlock/inv
'INV' IS NOT A VALID FIELD CODE
'INV' IS NOT A VALID FIELD CODE
L2 0 MORTLOCK/INV

=> e mort
E1 1 MORSZTYN/BI
E2 2 MORSZYN/BI
E3 1424 --> MORT/BI
E4 459 MORT1/BI
E5 9 MORTA/BI
E6 1 MORTA4/BI
E7 1 MORTA4S/BI
E8 1 MORTAALAMPUTATIE/BI
E9 1 MORTABILITIES/BI
E10 6 MORTABILITY/BI
E11 1 MORTABITIES/BI
E12 1 MORTABITY/BI

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E2 1 MORSZTYNOVA T/AU
E3 0 --> MORT/AU
E4 7 MORT A/AU
E5 33 MORT A J/AU
E6 2 MORT ALUN J/AU
E7 7 MORT ANDREW/AU
E8 42 MORT ANDREW J/AU
E9 2 MORT ANDREW JAMES/AU
E10 1 MORT ANTHONY/AU
E11 1 MORT B/AU
E12 1 MORT BONTEMPS C/AU

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                OR "MORTLOCK ALISON MARY"/AU OR "MORTLOCK ALLAN J"/AU OR "MORTLO
                CK ANDREW"/AU)

=> d l4 1- ibib abs
YOU HAVE REQUESTED DATA FROM 41 ANSWERS - CONTINUE? Y/(N):y

L4   ANSWER 1 OF 41     MEDLINE on STN
ACCESSION NUMBER:   2003548844     IN-PROCESS
DOCUMENT NUMBER:    PubMed ID: 14627842
TITLE:              Suppression of gene expression by a cell-permeable Tet
                    repressor.
AUTHOR:             Mortlock Alison; Low Walter; Crisanti Andrea
CORPORATE SOURCE:   Biogeny PLC and Department of Biology and Biochemistry, SAF
                    Building, Imperial College, London SW7 2AZ, UK.
SOURCE:             Nucleic acids research, (2003 Dec 1) 31 (23) e152.
                    Journal code: 0411011. ISSN: 1362-4962.
PUB. COUNTRY:       England: United Kingdom
DOCUMENT TYPE:      Journal; Article; (JOURNAL ARTICLE)
LANGUAGE:           English
FILE SEGMENT:       IN-PROCESS; NONINDEXED; Priority Journals
ENTRY DATE:         Entered STN: 20031121
                    Last Updated on STN: 20031219
AB   Engineered transcription factors designed to selectively activate or
     repress endogenous genes have great potential in medical and
     biotechnological applications. Ultimately, their success will depend on
     the development of efficient delivery systems. We show here that a
     chimeric tetracycline- controlled transcription factor, encompassing the
     Tet repressor (TetR) from the tetracycline-resistance operon (tet from
     Escherichia coli transposon Tn10) and a cell membrane transducing peptide,
     is able to regulate transcription from a tetracycline responsive promoter
     (pCMV2xtetO2). When added directly to cultured cells, TetR fused to the
     full-length Antennapedia homeodomain (AntpHD) from Drosophila (TetRAntp),
     was able to selectively repress transcription in cells transiently
     transfected with a tetracycline-regulated reporter transcription unit.
     Moreover, TetRAntp could repress expression of a tetracycline responsive
     reporter transcription unit stably integrated into the genome of HeLa
     cells, demonstrating the possibility of manipulating endogenous gene
     expression by cell-permeable transcription factors.

L4   ANSWER 2 OF 41     MEDLINE on STN
ACCESSION NUMBER:   2003503869     IN-PROCESS
DOCUMENT NUMBER:    PubMed ID: 14580793
TITLE:              A recombinant H1 histone-based system for efficient
                    delivery of nucleic acids.
AUTHOR:             Puebla Iratxe; Esseghir Selma; Mortlock Alison;
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Brown Anthony; Crisanti Andrea; Low Walter
CORPORATE SOURCE: Biogeny PLC, SAF Building, Imperial College London, Imperial College Road, SW7 2AZ London, UK.
SOURCE: Journal of biotechnology, (2003 Nov 6) 105 (3) 215-26. Journal code: 8411927. ISSN: 0168-1656.
PUB. COUNTRY: Netherlands
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: IN-PROCESS; NONINDEXED; Priority Journals
ENTRY DATE: Entered STN: 20031029
Last Updated on STN: 20031219

AB We describe here a unique transfer system based on a truncated form of the human linker histone H1F4 for the delivery of nucleic acids to a variety of cells. The efficiency of truncated histone H1.4F was assessed using both primary mammalian and immortalised insect and mammalian cell lines. Our results indicated that recombinant histone H1.4F was able to deliver DNA, dsRNA and siRNA in all cells tested. Quantitative analysis based on reporter gene expression or silencing of target genes revealed that the transfection efficiency of histone H1.4F was comparable to, or better than, liposome-based systems. Notably, the efficiency of histone H1.4F was associated with very low toxicity for transfected cells. The human H1.4F recombinant protein is easily purified in large-scale from bacterial lysates using inexpensive simplified processing. This versatile transfection system represents an important advance in the field of gene delivery and an improvement over earlier nucleic acid delivery methods.

L4 ANSWER 3 OF 41 MEDLINE on STN
ACCESSION NUMBER: 2003199692 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12719470
TITLE: Aurora B couples chromosome alignment with anaphase by targeting BubR1, Mad2, and Cenp-E to kinetochores.
AUTHOR: Ditchfield Claire; Johnson Victoria L; Tighe Anthony; Ellston Rebecca; Haworth Carolyn; Johnson Trevor; **Mortlock Andrew**; Keen Nicholas; Taylor Stephen S
CORPORATE SOURCE: School of Biological Sciences, University of Manchester, 2.205 Stopford Building, Oxford Rd., Manchester M13 9PT, UK.
SOURCE: Journal of cell biology, (2003 Apr 28) 161 (2) 267-80. Journal code: 0375356. ISSN: 0021-9525.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200306
ENTRY DATE: Entered STN: 20030430
Last Updated on STN: 20030620
Entered Medline: 20030619

AB The Aurora/Ipl1 family of protein kinases plays multiple roles in mitosis and cytokinesis. Here, we describe ZM447439, a novel selective Aurora kinase inhibitor. Cells treated with ZM447439 progress through interphase, enter mitosis normally, and assemble bipolar spindles. However, chromosome alignment, segregation, and cytokinesis all fail. Despite the presence of maloriented chromosomes, ZM447439-treated cells exit mitosis with normal kinetics, indicating that the spindle checkpoint is compromised. Indeed, ZM447439 prevents mitotic arrest after exposure to paclitaxel. RNA interference experiments suggest that these phenotypes are due to inhibition of Aurora B, not Aurora A or some other kinase. In the absence of Aurora B function, kinetochore localization of the spindle checkpoint components BubR1, Mad2, and Cenp-E is diminished. Furthermore, inhibition of Aurora B kinase activity prevents the rebinding of BubR1 to metaphase kinetochores after a reduction in centromeric tension. Aurora B kinase activity is also required for phosphorylation of BubR1 on entry into mitosis. Finally, we show that BubR1 is not only required for

spindle checkpoint function, but is also required for chromosome alignment. Together, these results suggest that by targeting checkpoint proteins to kinetochores, Aurora B couples chromosome alignment with anaphase onset.

L4 ANSWER 4 OF 41 MEDLINE on STN
ACCESSION NUMBER: 97236962 MEDLINE
DOCUMENT NUMBER: PubMed ID: 9083490
TITLE: New non-peptide endothelin-A receptor antagonists: synthesis, biological properties, and structure-activity relationships of 5-(dimethylamino)-N-pyridyl-,-N-pyrimidinyl-,-N-pyridazinyl-, and -N-pyrazinyl-1-naphthalenesulfonamides.
AUTHOR: Bradbury R H; Bath C; Butlin R J; Dennis M; Heys C; Hunt S J; James R; **Mortlock A A**; Sumner N F; Tang E K; Telford B; Whiting E; Wilson C
CORPORATE SOURCE: Cardiovascular and Musculoskeletal Department, ZENECA Pharmaceuticals, Macelesfield, Cheshire, U.K.
SOURCE: Journal of medicinal chemistry, (1997 Mar 14) 40 (6) 996-1004.
Journal code: 9716531. ISSN: 0022-2623.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199705
ENTRY DATE: Entered STN: 19970507
Last Updated on STN: 19970507
Entered Medline: 19970501

AB Use of automated synthesis led to the discovery of several 6-membered nitrogen heterocycles as replacements for the N-isoxazolyl substituent present in the 1-naphthalenesulfonamides endothelin-A (ETA) antagonist 5-(dimethylamino)-N-(3,4-dimethyl-5-isoxazolyl)-1-naphthalenesu lfo namides (BMS 182874). In each of these heterocycles, a small substituent such as halogen para to the position of attachment to the sulfonamide nitrogen atom was found to be advantageous for ETA receptor affinity. Of these heterocycles, 2-pyrazines offered the greatest scope for improving receptor affinity. Optimization of the substituents at the 3- and 5-positions in the pyrazine ring led to potent, ETA-selective compounds such as 5-(dimethylamino)-N-(5-chloro-3-methoxy-2-pyrazinyl)-1-naphthalenesulfonamides (7m, ETA pIC50 8.1). When dosed orally at 10 mg/kg to conscious, normotensive rats infused with big ET-1, compounds such as 7m showed significant inhibition of the pressor response with a duration of effect lasting for the 5-h course of the experiment.

L4 ANSWER 5 OF 41 MEDLINE on STN
ACCESSION NUMBER: 94099011 MEDLINE
DOCUMENT NUMBER: PubMed ID: 8273478
TITLE: Interactive software for setting cochlear implants in children.
AUTHOR: Allum D J; **Mortlock A**
CORPORATE SOURCE: Cavale International, Basel, Switzerland.
SOURCE: Advances in oto-rhino-laryngology, (1993) 48 191-8.
Journal code: 0242534. ISSN: 0065-3071.
PUB. COUNTRY: Switzerland
DOCUMENT TYPE: (CLINICAL TRIAL)
Journal; Article; (JOURNAL ARTICLE)
(MULTICENTER STUDY)
(RANDOMIZED CONTROLLED TRIAL)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199402
ENTRY DATE: Entered STN: 19940215

Last Updated on STN: 19980206
Entered Medline: 19940203

L4 ANSWER 6 OF 41 MEDLINE on STN
ACCESSION NUMBER: 74000037 MEDLINE
DOCUMENT NUMBER: PubMed ID: 4733225
TITLE: The determination of Di-n-alkyl phthalates in cosmetic preparations by gas-liquid chromatography.
AUTHOR: Godly E W; **Mortlock A E**
SOURCE: Analyst, (1973 Jul) 98 (168) 493-501.
Journal code: 0372652. ISSN: 0003-2654.
PUB. COUNTRY: ENGLAND: United Kingdom
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 197311
ENTRY DATE: Entered STN: 19900310
Last Updated on STN: 19900310
Entered Medline: 19731130

L4 ANSWER 7 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:938801 CAPLUS
TITLE: Suppression of gene expression by a cell-permeable Tet repressor
AUTHOR(S): **Mortlock, Alison**; Low, Walter; Crisanti, Andrea
CORPORATE SOURCE: Biogeny PLC and Department of Biology and Biochemistry, Imperial College, London, SW7 2AZ, UK
SOURCE: Nucleic Acids Research (2003), 31(23), e152/1-e152/7
CODEN: NARHAD; ISSN: 0305-1048
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Engineered transcription factors designed to selectively activate or repress endogenous genes have great potential in medical and biotechnol. applications. Ultimately, their success will depend on the development of efficient delivery systems. We show here that a chimeric tetracycline-controlled transcription factor, encompassing the Tet repressor (TetR) from the tetracycline-resistance operon (tet from Escherichia coli transposon Tn10) and a cell membrane transducing peptide, is able to regulate transcription from a tetracycline responsive promoter (pCMV2xtetO2). When added directly to cultured cells, TetR fused to the full-length Antennapedia homeodomain (AntpHD) from Drosophila (TetRAntp), was able to selectively repress transcription in cells transiently transfected with a tetracycline-regulated reporter transcription unit. Moreover, TetRAntp could repress expression of a tetracycline responsive reporter transcription unit stably integrated into the genome of HeLa cells, demonstrating the possibility of manipulating endogenous gene expression by cell-permeable transcription factors.
REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:826043 CAPLUS
TITLE: A recombinant H1 histone-based system for efficient delivery of nucleic acids
AUTHOR(S): Puebla, Iratxe; Esseghir, Selma; **Mortlock, Alison**; Brown, Anthony; Crisanti, Andrea; Low, Walter
CORPORATE SOURCE: Biogeny PLC, Imperial College London, London, SW7 2AZ, UK
SOURCE: Journal of Biotechnology (2003), 105(3), 215-226
CODEN: JBITD4; ISSN: 0168-1656

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PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB We describe here a unique transfer system based on a truncated form of the human linker histone H1F4 for the delivery of nucleic acids to a variety of cells. The efficiency of truncated histone H1.4F was assessed using both primary mammalian and immortalised insect and mammalian cell lines. Our results indicated that recombinant histone H1.4F was able to deliver DNA, dsRNA and siRNA in all cells tested. Quant. anal. based on reporter gene expression or silencing of target genes revealed that the transfection efficiency of histone H1.4F was comparable to, or better than, liposome-based systems. Notably, the efficiency of histone H1.4F was associated with very low toxicity for transfected cells. The human H1.4F recombinant protein is easily purified in large-scale from bacterial lysates using inexpensive simplified processing. This versatile transfection system represents an important advance in the field of gene delivery and an improvement over earlier nucleic acid delivery methods.
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:339130 CAPLUS
DOCUMENT NUMBER: 139:143528
TITLE: Aurora B couples chromosome alignment with anaphase by targeting BubR1, Mad2, and Cenp-E to kinetochores
AUTHOR(S): Ditchfield, Claire; Johnson, Victoria L.; Tighe, Anthony; Ellston, Rebecca; Haworth, Carolyn; Johnson, Trevor; **Mortlock, Andrew**; Keen, Nicholas; Taylor, Stephen S.
CORPORATE SOURCE: School of Biological Sciences, University of Manchester, Manchester, M13 9PT, UK
SOURCE: Journal of Cell Biology (2003), 161(2), 267-280
CODEN: JCLBA3; ISSN: 0021-9525
PUBLISHER: Rockefeller University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The Aurora/Ipl1 family of protein kinases plays multiple roles in mitosis and cytokinesis. Here, we describe ZM447439, a novel selective Aurora kinase inhibitor. Cells treated with ZM447439 progress through interphase, enter mitosis normally, and assemble bipolar spindles. However, chromosome alignment, segregation, and cytokinesis all fail. Despite the presence of maloriented chromosomes, ZM447439-treated cells exit mitosis with normal kinetics, indicating that the spindle checkpoint is compromised. Indeed, ZM447439 prevents mitotic arrest after exposure to paclitaxel. RNA interference expts. suggest that these phenotypes are due to inhibition of Aurora B, not Aurora A or some other kinase. In the absence of Aurora B function, kinetochore localization of the spindle checkpoint components BubR1, Mad2, and Cenp-E is diminished. Furthermore, inhibition of Aurora B kinase activity prevents the rebinding of BubR1 to metaphase kinetochores after a reduction in centromeric tension. Aurora B kinase activity is also required for phosphorylation of BubR1 on entry into mitosis. Finally, we show that BubR1 is not only required for spindle checkpoint function, but is also required for chromosome alignment. Together, these results suggest that by targeting checkpoint proteins to kinetochores, Aurora B couples chromosome alignment with anaphase onset.
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:10468 CAPLUS
DOCUMENT NUMBER: 136:85826
TITLE: Preparation of substituted quinazoline derivatives and

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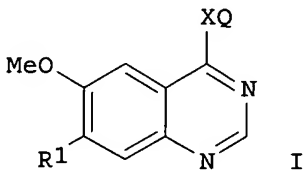
their use as inhibitors of AURORA-2 kinase
INVENTOR(S): **Mortlock, Andrew**; Jung, Frederic
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 249 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000649	A1	20020103	WO 2001-SE1450	20010621
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1299381	A1	20030409	EP 2001-944061	20010621
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011754	A	20030429	BR 2001-11754	20010621
JP 2004501914	T2	20040122	JP 2002-505773	20010621
BG 107376	A	20030930	BG 2002-107376	20021211
NO 2002006010	A	20021213	NO 2002-6010	20021213
US 2003187002	A1	20031002	US 2002-311916	20021216

PRIORITY APPLN. INFO.: EP 2000-401842 A 20000628
WO 2001-SE1450 W 20010621

OTHER SOURCE(S): MARPAT 136:85826
GI



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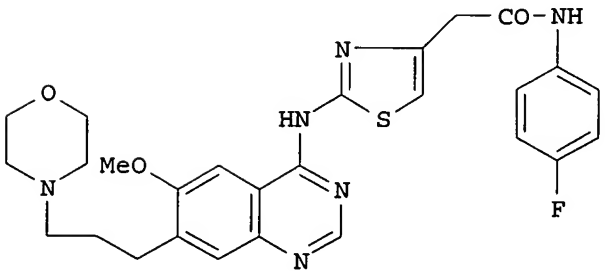


II

AB The title compds. [I; X = O, S, S:O, SO2, NR; R = H, C1-6alkyl; R1 = OCH3, 3-(4-morpholinyl)propoxy, N-methylpiperidine-4-ylmethoxy,

3-(N-methylpiperazine-4-yl)propoxy, 3-(pyrrolidine-1-yl)propoxy, (CH3)2N(CH2)3O, etc.; Q = (un)substituted 5-membered heteroarom.], pharmaceutically acceptable salts, in vivo hydrolysable esters, and amides are prepared as AURORA-2 kinase inhibitors in warm blooded animals. The title compds. together with pharmaceutical compns. containing them are also described and claimed. Thus, the title compound II was prepared and tested in vitro for the ability to arrest MCF7 cells in specific phases of the cell cycle.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:935805 CAPLUS
DOCUMENT NUMBER: 136:49354
TITLE: Gene-regulating conjugate and its therapeutical uses
INVENTOR(S): Crisanti, Andrea; **Mortlock, Alison Mary**
PATENT ASSIGNEE(S): Implyx Ltd., UK
SOURCE: PCT Int. Appl., 11 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098515	A2	20011227	WO 2001-GB2707	20010620
WO 2001098515	A3	20021003		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1292695	A2	20030319	EP 2001-940765	20010620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004500888	T2	20040115	JP 2002-504663	20010620
US 2004037821	A1	20040226	US 2003-311798	20030721

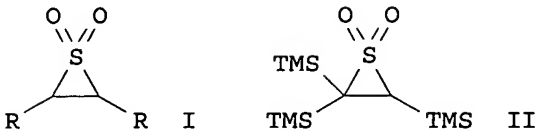
PRIORITY APPLN. INFO.: GB 2000-15090 A 20000620
WO 2001-GB2707 W 20010620

AB The invention discloses methods of constructing a protein conjugate for controlling the expression of a specific gene. In particular, the conjugate comprises a DNA-binding domain, a gene-regulating region and a factor that permits translocation of the conjugate across a cell membrane, wherein the DNA-binding domain is heterologous to that naturally associated with the gene-regulating region, and binds to a conserved sequence on the gene for the selective transactivation. The invention also provides methods as well the DNA constructs for preparation of the conjugate. The invention further discloses that the conjugate can be used in gene therapy, in particular, a medicament for endogenous regulation of gene expression.

L4 ANSWER 12 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:139472 CAPLUS
DOCUMENT NUMBER: 126:250887
TITLE: Episulfone substitution and ring-opening reactions via α-sulfonyl carbanion intermediates
AUTHOR(S): Dishington, Allan P.; Douthwaite, Richard E.; **Mortlock, Andrew**; Muccioli, Adriano B.;

10/ 088,854

Simpkins, Nigel C.
CORPORATE SOURCE: Dep. Chem., Univ. Nottingham, Nottingham, NG7 2RD, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1997), (3), 323-337
CODEN: JCPRB4; ISSN: 0300-922X
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:250887
GI



AB Three-membered cyclic sulfones, e.g., I (R = H, Me, Et, Pr), undergo substitution on treatment with base-electrophile mixts., such as LDA-Me3SiCl and tert-Bu-P4 phosphazene base-PhCHO, to give either substituted episulfones or the corresponding alkenes following loss of SO2. The structure of a trisilylated episulfone product, II, was determined by x-ray crystallog. In the absence of Me3SiCl, reaction of episulfones with lithium diisopropylamide results in ring-opening to give alkenyl sulfinate intermediates, which can be alkylated to give (E)-alkenyl sulfone products in stereoselective fashion.
REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:26682 CAPLUS
DOCUMENT NUMBER: 124:176597
TITLE: Total Syntheses of (-)-Papuamine and (-)-Haliclonadiamine
AUTHOR(S): McDermott, Todd S.; **Mortlock, Andrew**; Heathcock, Clayton H.
CORPORATE SOURCE: Department of Chemistry, University of California, Berkeley, CA, 94720, USA
SOURCE: Journal of Organic Chemistry (1996), 61(2), 700-9
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:176597
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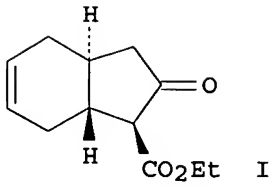

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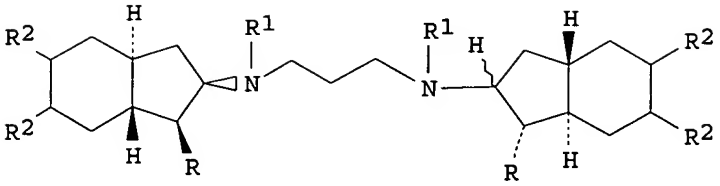

II

AB The pentacyclic marine alkaloids (-)-papuamine and (-)-haliclonadiamine were prepared by total synthesis. The synthesis begins with (-)-4-cyclohexene-1,2-dimethanol, which is converted into (1S,2S)-diethyl 4-cyclohexene-1,2-dicarboxylate by way of bis-mesylate, dinitrile, and diacid. Dieckmann cyclization of (1S,2S)-diethyl 4-cyclohexene-1,2-dicarboxylate provides keto ester I, which is transformed into the acetal. After hydrolysis of the acetal, the ketone is subjected to reductive amination with 1,3-propanediamine and sodium triacetoxyborohydride to obtain diamines II (R = CH2OCH2Ph, R1 = H, R2R2 = bond) as a 71:29 mixture of diastereomers, favoring the sym. isomer having the papuamine relative configuration. After transformation of the diamines to their t-Boc derivs., the benzyl ethers were cleaved and the resulting diol was oxidized to the dialdehyde. Application of the Seyferth procedure for conversion of aldehydes to alkynes gives a mixture of diynes II (R = C.tplbond.CH, R1 = Me3CO2C, R2 = H). After removal of the t-Boc protecting groups from syn-II (R = C.tplbond.CH, R1 = Me3CO2C, R2 = H), the diamino diyne is treated with tributylstannane and azoisobutyronitrile to obtain the bis-vinylstannane. Treatment of this compound with Pd(II) and Cu(I) in the presence of air produces (-)-papuamine. (-)-Haliclonadiamine was obtained from the unsym. II (R = C.tplbond.CH). The NMR spectra of the synthetic alkaloids were identical to those of authentic samples of the natural alkaloids.

L4 ANSWER 14 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:605144 CAPLUS
DOCUMENT NUMBER: 121:205144
TITLE: First Examples of Episulfone Substitution Reactions via α-Sulfonyl Carbanion Intermediates
AUTHOR(S): Muccioli, Adriano B.; Simpkins, Nigel S.; **Mortlock, Andrew**
CORPORATE SOURCE: Department of Chemistry, University of Nottingham, Nottingham, NG7 2RD, UK
SOURCE: Journal of Organic Chemistry (1994), 59(18), 5141-3
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 121:205144
AB Three-membered cyclic sulfones (episulfones) undergo substitution on treatment with base-electrophile mixts., such as LDA-Me3SiCl and tBu-P4-phosphazene base-PhCHO, to give either substituted episulfones or the corresponding alkenes following loss of SO2.

L4 ANSWER 15 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN

10/ 088,854

ACCESSION NUMBER: 1988:135306 CAPLUS
DOCUMENT NUMBER: 108:135306
TITLE: Thermoluminescence dating of coarse-grain quartz from the Malan loess at Zhaitang Section, China
AUTHOR(S): Lu, Yanchou; **Mortlock, A. J.**; Price, D. M.; Readhead, M. L.
CORPORATE SOURCE: Inst. Geol., State Seismol. Bur., Beijing, Peop. Rep. China
SOURCE: Quaternary Research (1987), 28(3), 356-63
CODEN: QRESAV; ISSN: 0033-5894
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Thermoluminescence (TL) ages were obtained for loess samples taken from Zhaitang area near Beijing, China, by using the coarse-grain quartz technique. The paleodose values were determined by the method of total sample bleaching and regeneration of the TL growth curve. The method is suitable for the age determination of loess samples of ≤150,000 yr old, where the annual dose-rate values are of the order 3-4 mGyr/yr. This limit is a function of the total accumulated dose. The ages are in good agreement with those obtained by a fine-grain TL technique and are consistent with geol. and geomagnetostratigraphic evidence.

L4 ANSWER 16 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:467768 CAPLUS
DOCUMENT NUMBER: 101:67768
TITLE: The effects of farnesol on the late stage nauplius and free swimming cypris larvae of Elminius modestus (Darwin)
AUTHOR(S): **Mortlock, A. M.**; Fitzsimons, J. T. R.; Kerkut, G. A.
CORPORATE SOURCE: Dep. Physiol. Biochem., Univ. Southampton, Southampton, SO9 3TU, UK
SOURCE: Comparative Biochemistry and Physiology, Part A: Molecular & Integrative Physiology (1984), 78A(2), 345-57
CODEN: CBPAB5; ISSN: 0300-9629
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The juvenile hormone analog, farnesol [4602-84-0] was tested against nauplii and cyprids of E. modestus. Farnesol is toxic to the larvae at concns. above 1 + 10-5 (volume/volume). The nos. of cyprids and adults produced and the rate of metamorphosis are affected by the concentration of farnesol in seawater, within the range 5 + 10-7-1 + 10-6 (volume/volume). Abnormal cyprids result from exposure to farnesol. They do not metamorphose into attached adults. The degree of abnormality is related to the strength of farnesol and length of exposure. The effect of farnesol is related to the physiol. age of the larva. Light and electron microscope were used to describe and explain the abnormalities at the cellular level.

L4 ANSWER 17 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:125019 CAPLUS
DOCUMENT NUMBER: 94:125019
TITLE: Thermoluminescence dating of sedimentary layers in lake and ocean environments
AUTHOR(S): **Mortlock, A. J.**; Price, D. M.
CORPORATE SOURCE: Phys. Dep., Aust. Natl. Univ., Australia
SOURCE: Australian Physicist (1980), 17(11), 190
CODEN: AUPHBZ; ISSN: 0004-9972
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Relatively standard thermoluminescence (TL) dating techniques are used (for sediments) with some modification to include effects such as the TL signal

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not completely reset to 0 after long exposure of the sediments to sunlight. Ages determined by TL methods for the Crozet Plateau sediments of the Antarctic Ocean were 14 + 104 yr; these ages compare favorably with the O-isotope ages of diatoms determined by J. D. Hayes et al. (1976). The TL measurements on lake sediments from Lake George, near Canberra, New South Wales, Australia give 1.1 + 104 yr which is in nominal agreement with radiocarbon and pollen ages determined by G. Singh, A. P. Kreshaw, and R. Clark (1979). The archaeol. implications of TL dating are also discussed.

L4 ANSWER 18 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:496827 CAPLUS
DOCUMENT NUMBER: 79:96827
TITLE: Determination of dialkyl phthalates in cosmetic preparation by gas-liquid chromatography
AUTHOR(S): Godly, E. W.; **Mortlock, A. E.**
CORPORATE SOURCE: Lab. Gov. Chem., Dep. Trade and Ind., London, UK
SOURCE: Analyst (Cambridge, United Kingdom) (1973), 98(1168), 493-501
CODEN: ANALAO; ISSN: 0003-2654
DOCUMENT TYPE: Journal
LANGUAGE: English
AB An improved gas-liquid chromatog. method is described for the determination of C1-4 dialkyl phthalates in toiletry prepns., e.g. hair lotions and after-shave lotion. The column was 8% nonylphenoxypoly(ethyleneneoxy)ethanol on 80-100 mesh acid-washed Chromosorb W. The column temperature was 200-10° for di-Me and di-Et phthalate and 220° for di-Bu phthalate. Little interference was observed from 23 perfume essential oils or 48 perfume synthetic chems.

L4 ANSWER 19 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:75984 CAPLUS
DOCUMENT NUMBER: 78:75984
TITLE: Diffusion of strontium(2+) in single crystal magnesium oxide
AUTHOR(S): **Mortlock, A. J.**; Price, D. M.
CORPORATE SOURCE: Phys. Dep., Aust. Natl. Univ., Canberra, Australia
SOURCE: Journal of Chemical Physics (1973), 58(2), 634-6
CODEN: JCPSA6; ISSN: 0021-9606
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Measurements of the diffusion of Sr2+ at tracer concentration in high-purity single crystal MgO was made at 1000-1600°. After applying a graphical correction for the effects of a short-circuiting diffusion component which is also present, the observed diffusion coeffs., D, applicable to lattice diffusion could be fitted by the equation D = 6.0 + 10-4 exp -(2.91/kT) cm2/sec, where T is the absolute temperature and k is Boltzmann's constant in eV/°K. The relation of this result to previously found correlations of the activation energy and frequency factor with the radius of the diffusing ion, r, is examined D can be expressed as a rapidly varying function of r and T only over a range of r from 0.3-1.3 Å. This size effect is discussed in relation to that observed in other ionic solids.

L4 ANSWER 20 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:75208 CAPLUS
DOCUMENT NUMBER: 78:75208
TITLE: Measurement of lattice diffusion in copper at relatively low temperatures
AUTHOR(S): **Mortlock, A. J.**; Price, D. M.
CORPORATE SOURCE: Dep. Phys., Aust. Natl. Univ., Canberra, Australia
SOURCE: Metallurgical Transactions (1973), 4(1), 363-4
CODEN: MTGTBF; ISSN: 0026-086X

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DOCUMENT TYPE: Journal
LANGUAGE: English
AB Lattice diffusion can be measured directly by serial sectioning in the case of self-diffusion in Cu down to 400°. It is necessary to subtract a diffusion component due to the presence of short-circuiting dislocations. Application of a similar subtraction technique to other cases of near-surface self-diffusion in the noble metals were not nearly as successful.

L4 ANSWER 21 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1971:92120 CAPLUS
DOCUMENT NUMBER: 74:92120
TITLE: Cation self-diffusion in single crystal magnesium oxide
AUTHOR(S): Harding, B. C.; Price, D. M.; **Mortlock, A. J.**
CORPORATE SOURCE: Phys. Dep., Aust. Natl. Univ., Canberra, Australia
SOURCE: Philosophical Magazine (1971), 23(182), 399-408
CODEN: PHMAA4; ISSN: 0031-8086
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Measurements of the self-diffusion of Mg2+ in single-crystal MgO of 2 d ifferent purities have been made at 1100-1750°. Above .apprx.1300° the results show direct evidence of the operation of both intrinsic and extrinsic diffusion. Below this temperature precipitation of the nonactive impurities present appears to ta ke place. By using the earlier similar but apparently purely intrinsic measurements of Lindner and Parfitt (1957), it is possible to evaluate both the entha lpy of motion for the cation vacancy, Hm, and the enthalpy of formation o f the complete Schottky defect, Hf. The results obtained are: Hm = 1.7 ± 0.1 eV; Hf = 3.4 ± 0.2 eV.

L4 ANSWER 22 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1971:67986 CAPLUS
DOCUMENT NUMBER: 74:67986
TITLE: Concentration dependence of the tracer diffusion of Sc3+ in single crystal magnesium oxide
AUTHOR(S): Solaga, T.; **Mortlock, A. J.**
CORPORATE SOURCE: Phys. Dep., Aust. Natl. Univ., Canberra, Australia
SOURCE: Physica Status Solidi A: Applied Research (1970), 3(4), K247-K250
CODEN: PSSABA; ISSN: 0031-8965
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Penetration profiles for the diffusion of 46Sc in MgO single crystals at 1500° for 50 hr showed that the diffusion coefficient, D, is dependent on surface concentration, Cs, at Cs ≥50 ppm. These measurements are in the extrinsic region (the intrinsic-extrinsic transition of MgO occurs at .apprx.1830°). In the sample, the Fe impurities are in the Fe2+ state. These impurities as well as the charge compensation of Sc3+ introduce vacancies into the sample.

L4 ANSWER 23 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1970:491550 CAPLUS
DOCUMENT NUMBER: 73:91550
TITLE: Negative temperature dependence of the activation energy for impurity diffusion in metals
AUTHOR(S): **Mortlock, Allan J.**
CORPORATE SOURCE: Phys. Dep., Aust. Nat. Univ., Canberra, Australia
SOURCE: Physica Status Solidi A: Applied Research (1970), 2(2), K85-K88
CODEN: PSSABA; ISSN: 0031-8965
DOCUMENT TYPE: Journal
LANGUAGE: English

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AB A neg. temperature dependence of the activation energy for impurity diffusion in metals is likely to be observed in certain relatively high excess valence impurity expts. where very fine sections and very small diffusion distance values are used. This effect is observed in the case of S diffusing in Cu.

L4 ANSWER 24 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1969:516745 CAPLUS
DOCUMENT NUMBER: 71:116745
TITLE: Near-surface diffusion anomaly in metals
AUTHOR(S): **Mortlock, Allan J.**
CORPORATE SOURCE: Aust. Nat. Univ., Canberra, Australia
SOURCE: Journal of the Australian Institute of Metals (1969), 14(2), 98-101
CODEN: JAMTAE; ISSN: 0004-9352
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Anomalous characteristics of impurity diffusion have recently been observed within .apprx.1 μm. of the free surface of noble metals. Calcns. indicate that these anomalies may be rationalized at least in part by assuming the operation of a time-dependent potential field near the surface. The potential function necessary to reproduce the results for Ni diffusion into Au appear complex, but a rejective function very close to and including the surface coupled with an attractive function slightly further in the crystal may describe the results. Anomalous diffusion may also be expected to take place close to internal surfaces such as grain boundaries.

L4 ANSWER 25 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1969:442693 CAPLUS
DOCUMENT NUMBER: 71:42693
TITLE: Near-surface effect in tracer diffusion. Reply
AUTHOR(S): **Mortlock, Allan J.**; Lundy, T. S.; Padgett, R. A.
SOURCE: Transactions of the Metallurgical Society of AIME (1969), 245(5), 1122
CODEN: TMSAAB; ISSN: 0543-5722
DOCUMENT TYPE: Journal
LANGUAGE: English
AB An answer is given to comments made by J. H. Swisher (Ibid. 1121-2) concerning earlier papers. Surface tension forces act away from, as well as parallel to, the surface region. There are time-dependent driving forces present which tend to distribute the impurity atoms in a manner corresponding to a spacially uniform chemical potential. The fact that the Fe-S and the Fe-N systems show no anomaly may be a result of the method of experiment employed. An explanation based on low vacancy concns. in near-surface region is not valid. A literature reference citing surface roughness does not apply because of the previous thermal history of the specimens. Adequate evidence was presented to eliminate both a changing vacancy concentration or surface roughness.

L4 ANSWER 26 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1969:109342 CAPLUS
DOCUMENT NUMBER: 70:109342
TITLE: Divalent cation impurity diffusion in magnesium oxide
AUTHOR(S): **Mortlock, Allan J.**
CORPORATE SOURCE: Aust. Nat. Univ., Canberra, Australia
SOURCE: Nat. Bur. Stand. (US), Spec. Publ. (1968), Volume Date 1967, No. 296, 85-7 Avail.: GPO, 3 dollars
CODEN: XNBSAV
DOCUMENT TYPE: Report
LANGUAGE: English
AB For the diffusion of Ni^{2+}, Co^{2+}, Fe^{2+}, Zn^{2+}, Ca^{2+}, Be^{2+}, activation energies Q lie in the range 1.6 to 2.1 ev. and pre-exponential factors Do

are about 10-5 cm.2/sec. The data for Mg2+ and Ba2+ at small penetrations (.ltorsim.20 μ) are, resp., 3.4 ev. and 10-1 cm.2/sec. As stated by Lidiard, the diffusion of Ba2+ should be in the extrinsic region. The large Do factor for Ba2+ is due to its large radius r = 1.35 A. All other results conform better to the equation Q = Hm = 1.34 + (1.05 + 1016) r2 ev., where Hm is the movement energy for cation diffusion rather than the Mullen equation. Thus, Hm shows a consistent dependence on r2 and hence on the elastic strain energy at the saddle point. The 2-component nature of Ba2+ penetration profiles is attributed to extrinsic diffusion in the small penetration region, superposition of extrinsic and dislocation diffusion in deeper regions, and the enhanced effect of a smaller d. of dislocations resulting from the large Ba2+ ion.

L4 ANSWER 27 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1968:480427 CAPLUS
DOCUMENT NUMBER: 69:80427
TITLE: Near-surface diffusion anomaly in gold
AUTHOR(S): **Mortlock, A. J.**
CORPORATE SOURCE: Metals and Ceram. Div., Oak Ridge Nat. Lab., Oak Ridge, TN, USA
SOURCE: Transactions of the Metallurgical Society of AIME (1968), 243(9), 1963-7
CODEN: TMSAAB; ISSN: 0543-5722
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Co and Ni were diffused at tracer concns. in Au at several temps. from approx. 700 to 950°. The diffusion penetration profiles were determined by a serial sectioning technique in which the Au is first anodized and then the anodic layer is dissolved in acid. Thus, sections as thin as 250A. could be removed reproducibly. The region close to the specimen surface was characterized by irregular behavior in the sense that the logarithm of concentration was not linear in the sq. of the penetration distance. In some cases, there was an indication of the operation of a very slow diffusion in this region, while in others the apparent diffusion coefficient was neg. 14 references.

L4 ANSWER 28 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1967:405917 CAPLUS
DOCUMENT NUMBER: 67:5917
TITLE: Anisotropic diffusion of nickel in zinc studied by an autoradiographic method
AUTHOR(S): **Mortlock, Allan J.**; Ewens, P. M.
CORPORATE SOURCE: Australian Natl. Univ., Canberra, Australia
SOURCE: Physical Review (1967), 156(3), 814-16
CODEN: PHRVAO; ISSN: 0031-899X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The diffusion of Ni at very low concentration in single crystals of Zn was measured from .apprx.290 to 390°. An autoradiographic method was employed which allowed the simultaneous determination of diffusion coeffs. parallel to the c and a axes in the same crystal. The temperature dependence of these diffusion coeffs. Dc and Da, resp. is: Dc = (8.1+32-6.5) exp[-(1.415 ± 0.086 ev.)/kT] cm.2/sec., Da = (0.43+0.43-0.21) exp[-(1.258 ± 0.037 ev.)/kT] cm.2/sec., where T is the absolute temperature and k is Boltzmann's constant The anisotropy of the observed diffusion is smaller than expected on the basis of a vacancy mechanism. This result is similar to that already found for Cu diffusing in Zn and may be due to the small size of these atoms relative to Zn.

L4 ANSWER 29 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1967:5889 CAPLUS
DOCUMENT NUMBER: 66:5889
TITLE: Diffusion of beryllium in magnesium oxide

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AUTHOR(S): Harding, B. C.; **Mortlock, Allan J.**
CORPORATE SOURCE: Australian Natl. Univ., Canberra, Australia
SOURCE: Journal of Chemical Physics (1966), 45(7), 2699-2700
CODEN: JCPSA6; ISSN: 0021-9606
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Diffusion coeffs. (D) of Be in MgO were measured using 7Be tracer at 1000-1700°. The values fit the expression, D = (1.41 +0.50 -0.36) + 10-5 + exp[-(1.60 ± 0.04)/kT]cm.2/sec., where k is Boltzmann's constant in ev./°K. The results indicated that if Be diffused as Be2+, then the mechanism of diffusion was different from that for the divalent ions of Mg, Ca, Ni, Co, and Fe. Alternatively, Be might diffuse in a lower state of ionization than Be2+.

L4 ANSWER 30 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1966:486183 CAPLUS
DOCUMENT NUMBER: 65:86183
ORIGINAL REFERENCE NO.: 65:16150h,16151a
TITLE: The diffusion of calcium in magnesium oxide
AUTHOR(S): Rungis, J.; **Mortlock, A. J.**
CORPORATE SOURCE: Australian Natl. Univ., Canberra
SOURCE: Philosophical Magazine (1798-1977) (1966), 14(130), 821-7
CODEN: PHMAA4; ISSN: 0031-8086
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The diffusion of Ca2+ at tracer concns. in 99.99% pure single-crystal MgO has been measured over the range 900-1700°. The diffusion coefficient, D, could be expressed in the form: D = (2.95 +2.6-1.5 + 10-5 exp [-(2.13 ± 0.1)/kT] cm.2/sec., where k is Boltzmann's constant in ev./°K. and T is the absolute temperature The observed activation energy can be correlated with the corresponding data for other divalent ions diffusing in Mg through the equation: Q = k1(r/α) + k2, where r is the ionic radius in cm.; α is the ionic electronic polarizability in cc., and k1 and k2 are equal to 0.37 + 10-16 ev. cm.2 and 1.20 ev., resp.

L4 ANSWER 31 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1966:25696 CAPLUS
DOCUMENT NUMBER: 64:25696
ORIGINAL REFERENCE NO.: 64:4696h,4697a
TITLE: Simplified experiment demonstrating interstitial diffusion in α-iron
AUTHOR(S): **Mortlock, A. J.**
CORPORATE SOURCE: Australian Natl. Univ., Canberra
SOURCE: American Journal of Physics (1965), 33(12), 1033-6
CODEN: AJPIAS; ISSN: 0002-9505
DOCUMENT TYPE: Journal
LANGUAGE: English
AB An experiment is described which demonstrates the diffusion of interstitial impurities in α-iron. It consists in the measurement of the logarithmic decrement of the oscillatory motion of a torsional pendulum utilizing a com. available iron suspension wire of high purity. From the results obtained over a conveniently small temperature range, the activation energy for diffusion of the predominant impurity, N, can be found. This energy agrees favorably with earlier detns. made over a much wider temperature range by using iron wire and specially introduced impurities.

L4 ANSWER 32 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1965:415469 CAPLUS
DOCUMENT NUMBER: 63:15469
ORIGINAL REFERENCE NO.: 63:2706d-e
TITLE: Atomic diffusion of mercury in gold

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AUTHOR(S): **Mortlock, A. J.**; Rowe, A. H.
CORPORATE SOURCE: At. Energy Res. Estab., Harwell, UK
SOURCE: Philosophical Magazine (1798-1977) (1965), 11(114), 1157-64
CODEN: PHMAA4; ISSN: 0031-8086
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The diffusion of Hg at very low concentration in single-crystal Au was measured over the range 500° to approx. 1000° by using a sectioning technique. Above 600° the temperature dependence of the diffusion coefficient followed the equation: D = (0.116+0.13-0.06)exp[-(37,380 ± 1600)/RT]cm.2/sec. The results obtained are discussed in relation to current theories of impurity diffusion in metals.

L4 ANSWER 33 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1964:89162 CAPLUS
DOCUMENT NUMBER: 60:89162
ORIGINAL REFERENCE NO.: 60:15550f
TITLE: Anomalous volume diffusion in the surface layers of metals
AUTHOR(S): **Mortlock, A. J.**
CORPORATE SOURCE: Australian Natl. Univ., Canberra
SOURCE: Acta Met. (1964), 12(5), 675-7
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Diffusion data in Ag and in Al are compared. Further expts. should be carried out in Ag and Al in which the penetration profiles in the surface zone and the bulk of the specimens are determined in detail simultaneously in the same specimen.

L4 ANSWER 34 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1962:66024 CAPLUS
DOCUMENT NUMBER: 56:66024
ORIGINAL REFERENCE NO.: 56:12634i,12635a
TITLE: Atomic diffusion of platinum in gold
AUTHOR(S): **Mortlock, A. J.**; Rowe, A. H.; LeClaire, A. D.
CORPORATE SOURCE: At. Energy Research Estab., Harwell, UK
SOURCE: Philosophical Magazine (1798-1977) (1960), 5, 803-14
CODEN: PHMAA4; ISSN: 0031-8086
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The diffusion of radioactive Pt at tracer concentration in Au was determined at 800-1055°. The results at >900° fit the equation D = 7.6 exp [-(60,900 ± 1200)/RT] sq. cm./sec. (D = diffusion coefficient). The activation energy was much higher than for self-diffusion in Au. At <900°, D was higher than calculated; this could be caused by short-circuiting diffusion of segregated Pt along dislocations.

L4 ANSWER 35 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1960:66194 CAPLUS
DOCUMENT NUMBER: 54:66194
ORIGINAL REFERENCE NO.: 54:12707f-g
TITLE: The atomic diffusion of chromium in the titanium-chromium system
AUTHOR(S): **Mortlock, A. J.**; Tomlin, D. H.
SOURCE: Philosophical Magazine (1798-1977) (1959), 4, 628-43
CODEN: PHMAA4; ISSN: 0031-8086
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Diffusion rates of Cr in the body-centered cubic phase of the Ti-Cr system were measured by an autoradiographic tracer technique using the isotope Cr51. The activation energy for diffusion at zero solute concentration is very

much lower than that expected.

L4 ANSWER 36 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1959:98250 CAPLUS
DOCUMENT NUMBER: 53:98250
ORIGINAL REFERENCE NO.: 53:17679f-g
TITLE: Transfer of material from radioactive point contacts on germanium
AUTHOR(S): Haneman, D.; **Mortlock, A. J.**
CORPORATE SOURCE: Univ. Reading, UK
SOURCE: Semiconductors and Phosphors, Proc. Intern. Colloq. Garmisch-Partenkirchen (1958), Volume Date 1956 576
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB In the course of expts. on point contact transistor forming using radioactive Sb collector points, appreciable quantities of Sb were transferred to the Ge surface simply from low pressure contact of the Sb point.

L4 ANSWER 37 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1959:20703 CAPLUS
DOCUMENT NUMBER: 53:20703
ORIGINAL REFERENCE NO.: 53:3793a-c
TITLE: Error in temperature measurement due to the inter-diffusion at the hot junction of a thermocouple
AUTHOR(S): **Mortlock, A. J.**
CORPORATE SOURCE: At. Energy Research Estab., Harwell, UK
SOURCE: Journal of Scientific Instruments (1958), 35, 283-4
CODEN: JSINAY; ISSN: 0368-4253
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB A Pt/Pt-13% Rh thermocouple in a gradient of 10°/cm. may be in error to the extent of about 1.3° at all temps. within the normal operating range, following a heat-treatment equivalent to 100 days at 1500°. This is true only if the thermocouple is used in the conventional way with its arms parallel. If the same thermocouple were operated with its arms arranged in an antiparallel fashion, the error would be less.

L4 ANSWER 38 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1957:96610 CAPLUS
DOCUMENT NUMBER: 51:96610
ORIGINAL REFERENCE NO.: 51:17410e-f
TITLE: Point-contact-transistor studies with radioactive collectors
AUTHOR(S): Haneman, D.; **Mortlock, A. J.**
CORPORATE SOURCE: Univ. Reading, UK
SOURCE: Proc. Phys. Soc. (London) (1957), 70B, 145-7
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The number of atoms transferred to a Ge base while forming an Sb collector to produce enhanced current gain in a point-contact transistor is measured. Pile-activated Sb was used, the transferred activity being measured with a counter.

L4 ANSWER 39 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1956:88714 CAPLUS
DOCUMENT NUMBER: 50:88714
ORIGINAL REFERENCE NO.: 50:16625g-h
TITLE: A comparison of three radioactive tracer methods for studying the diffusion of chromium in titanium
AUTHOR(S): **Mortlock, A. J.**; Tomlin, D. H.
CORPORATE SOURCE: Univ. Reading, UK

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SOURCE: Proceedings of the Physical Society, London (1956), 69B, 250-2
CODEN: PPSOAU; ISSN: 0370-1328
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The methods compared are autoradiographic, counting dissolved layers, and counting transverse surfaces. It is estimated that the exptl. error in the values of the diffusion coefficient determined from each of the 3 methods is between 5% and 10%; the values agree satisfactory.

L4 ANSWER 40 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1956:86247 CAPLUS
DOCUMENT NUMBER: 50:86247
ORIGINAL REFERENCE NO.: 50:16243d-e
TITLE: The diffusion of chromium in titanium studied by an autoradiographic method
AUTHOR(S): **Mortlock, A. J.**; Tomlin, D. H.
CORPORATE SOURCE: Univ. Reading, UK
SOURCE: Proceedings of the Physical Society, London (1956), 69B, 248-50
CODEN: PPSOAU; ISSN: 0370-1328
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The method allows several diffusion expts. to be carried out on a single diffusion sandwich. The sandwiches were formed by evaporating Cr containing the pile-produced radioactive isotope Cr51 onto one finely ground end face of each of 2 small cylindrical specimens of Ti.

L4 ANSWER 41 OF 41 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1954:20751 CAPLUS
DOCUMENT NUMBER: 48:20751
ORIGINAL REFERENCE NO.: 48:3746f-h
TITLE: The effect of tension on the thermoelectric properties of metals
AUTHOR(S): **Mortlock, A. J.**
CORPORATE SOURCE: Commonwealth Sci. Ind. Research Organization, Sydney
SOURCE: Australian Journal of Physics (1953), 6, 410-19
CODEN: AUJPAS; ISSN: 0004-9506
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The change in thermoelec. power accompanying elastic tensile strain was measured by Crussard's method (C.A. 43, 2912f) from 20 to 400° on annealed specimens of Cu, Ag, Au, Pt, Pd, Ni, Al, Ti, Mo, Fe, and W, all of known purity. This change seems to depend on purity. Although the thermal e.m.f. is not linearly related to either the stress or the temperature over the full range of the measurements, for small stresses (100 kg./sq. cm.) and temperature differences (100°) it is approx. linearly related to both and the tension coeffs. of thermal e.m.f. are evaluated (except for Al) to within about 10%. The results for Cu, Ag, Au, Pt, and Pd are combined with those of Wagner (C.A. 3, 1719) on the effect of hydrostatic pressure to evaluate coeffs. that describe the change in thermoelec. power of isotropic metals under all types of elastic strain. Using Smit's theory (C.A. 47, 4680b) the new result for Au makes it probable that the Fermi surfaces of Cu, Ag, and Au touch the zone boundary.

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FILE 'MEDLINE, CAPLUS' ENTERED AT 13:49:01 ON 07 APR 2004
L1 0 S MORTLOCK/IN
L2 0 S MORTLOCK/INV

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                E MORT
L3              0 S MORTLOCK/AU
                E MORT/AU
                E MORTLOCK/AU
L4             41 S E4-E12

=> s l4 and aurora
L5              3 L4 AND AURORA

=> d l5 1- ibib abs
YOU HAVE REQUESTED DATA FROM 3 ANSWERS - CONTINUE? Y/(N):y

L5   ANSWER 1 OF 3     MEDLINE on STN
ACCESSION NUMBER:    2003199692     MEDLINE
DOCUMENT NUMBER:     PubMed ID: 12719470
TITLE:               Aurora B couples chromosome alignment with
                     anaphase by targeting BubR1, Mad2, and Cenp-E to
                     kinetochores.
AUTHOR:              Ditchfield Claire; Johnson Victoria L; Tighe Anthony;
                     Ellston Rebecca; Haworth Carolyn; Johnson Trevor;
                     Mortlock Andrew; Keen Nicholas; Taylor Stephen S
CORPORATE SOURCE:    School of Biological Sciences, University of Manchester,
                     2.205 Stopford Building, Oxford Rd., Manchester M13 9PT,
                     UK.
SOURCE:              Journal of cell biology, (2003 Apr 28) 161 (2) 267-80.
                     Journal code: 0375356. ISSN: 0021-9525.
PUB. COUNTRY:        United States
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ENTRY MONTH:         200306
ENTRY DATE:          Entered STN: 20030430
                     Last Updated on STN: 20030620
                     Entered Medline: 20030619
AB   The Aurora/Ipl1 family of protein kinases plays multiple roles
     in mitosis and cytokinesis.  Here, we describe ZM447439, a novel selective
     Aurora kinase inhibitor.  Cells treated with ZM447439 progress
     through interphase, enter mitosis normally, and assemble bipolar spindles.
     However, chromosome alignment, segregation, and cytokinesis all fail.
     Despite the presence of maloriented chromosomes, ZM447439-treated cells
     exit mitosis with normal kinetics, indicating that the spindle checkpoint
     is compromised.  Indeed, ZM447439 prevents mitotic arrest after exposure
     to paclitaxel.  RNA interference experiments suggest that these phenotypes
     are due to inhibition of Aurora B, not Aurora A or
     some other kinase.  In the absence of Aurora B function,
     kinetochore localization of the spindle checkpoint components BubR1, Mad2,
     and Cenp-E is diminished.  Furthermore, inhibition of Aurora B
     kinase activity prevents the rebinding of BubR1 to metaphase kinetochores
     after a reduction in centromeric tension.  Aurora B kinase
     activity is also required for phosphorylation of BubR1 on entry into
     mitosis.  Finally, we show that BubR1 is not only required for spindle
     checkpoint function, but is also required for chromosome alignment.
     Together, these results suggest that by targeting checkpoint proteins to
     kinetochores, Aurora B couples chromosome alignment with
     anaphase onset.

L5   ANSWER 2 OF 3  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:        2003:339130  CAPLUS
DOCUMENT NUMBER:         139:143528
TITLE:                   Aurora B couples chromosome alignment with
                         anaphase by targeting BubR1, Mad2, and Cenp-E to
                         kinetochores
AUTHOR(S):               Ditchfield, Claire; Johnson, Victoria L.; Tighe,
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Anthony; Ellston, Rebecca; Haworth, Carolyn; Johnson, Trevor; **Mortlock, Andrew**; Keen, Nicholas; Taylor, Stephen S.
CORPORATE SOURCE: School of Biological Sciences, University of Manchester, Manchester, M13 9PT, UK
SOURCE: Journal of Cell Biology (2003), 161(2), 267-280
CODEN: JCLBA3; ISSN: 0021-9525
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LANGUAGE: English

AB The **Aurora**/Ipl1 family of protein kinases plays multiple roles in mitosis and cytokinesis. Here, we describe ZM447439, a novel selective **Aurora** kinase inhibitor. Cells treated with ZM447439 progress through interphase, enter mitosis normally, and assemble bipolar spindles. However, chromosome alignment, segregation, and cytokinesis all fail. Despite the presence of maloriented chromosomes, ZM447439-treated cells exit mitosis with normal kinetics, indicating that the spindle checkpoint is compromised. Indeed, ZM447439 prevents mitotic arrest after exposure to paclitaxel. RNA interference expts. suggest that these phenotypes are due to inhibition of **Aurora** B, not **Aurora** A or some other kinase. In the absence of **Aurora** B function, kinetochore localization of the spindle checkpoint components BubR1, Mad2, and Cenp-E is diminished. Furthermore, inhibition of **Aurora** B kinase activity prevents the rebinding of BubR1 to metaphase kinetochores after a reduction in centromeric tension. **Aurora** B kinase activity is also required for phosphorylation of BubR1 on entry into mitosis. Finally, we show that BubR1 is not only required for spindle checkpoint function, but is also required for chromosome alignment. Together, these results suggest that by targeting checkpoint proteins to kinetochores, **Aurora** B couples chromosome alignment with anaphase onset.

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:10468 CAPLUS
DOCUMENT NUMBER: 136:85826
TITLE: Preparation of substituted quinazoline derivatives and their use as inhibitors of **AURORA**-2 kinase
INVENTOR(S): **Mortlock, Andrew**; Jung, Frederic
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 249 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

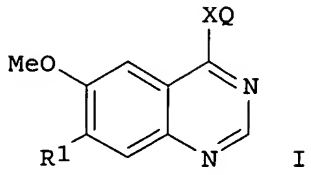
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000649	A1	20020103	WO 2001-SE1450	20010621
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1299381	A1	20030409	EP 2001-944061	20010621
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011754	A	20030429	BR 2001-11754	20010621

10/ 088,854

JP 2004501914	T2	20040122	JP 2002-505773		20010621
BG 107376	A	20030930	BG 2002-107376		20021211
NO 2002006010	A	20021213	NO 2002-6010		20021213
US 2003187002	A1	20031002	US 2002-311916		20021216
PRIORITY APPLN. INFO.:			EP 2000-401842	A	20000628
			WO 2001-SE1450	W	20010621

OTHER SOURCE(S): MARPAT 136:85826

GI



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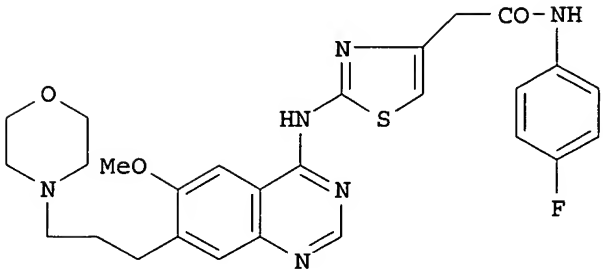

II

AB The title compds. [I; X = O, S, S:O, SO2, NR; R = H, C1-6alkyl; R1 = OCH3, 3-(4-morpholinyl)propoxy, N-methylpiperidine-4-ylmethoxy, 3-(N-methylpiperazine-4-yl)propoxy, 3-(pyrrolidine-1-yl)propoxy, (CH3)2N(CH2)3O, etc.; Q = (un)substituted 5-membered heteroarom.], pharmaceutically acceptable salts, in vivo hydrolysable esters, and amides are prepared as **AURORA**-2 kinase inhibitors in warm blooded animals. The title compds. together with pharmaceutical compns. containing them are also described and claimed. Thus, the title compound II was prepared and tested in vitro for the ability to arrest MCF7 cells in specific phases of the cell cycle.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'MEDLINE, CAPLUS' ENTERED AT 13:49:01 ON 07 APR 2004

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L4           41 S E4-E12
L5            3 S L4 AND AURORA
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COST IN U.S. DOLLARS SINCE FILE TOTAL

10/ 088,854

	ENTRY	SESSION
FULL ESTIMATED COST	120.97	121.39

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-25.64	-25.64

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